

## IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Patent of: )  
TAKAO NAKAJIMA ET AL. ) : Examiner: Laura Lynne Stockton  
Appln. No.: 10/584,633 ) : Art Unit: 1626  
Filed: June 26, 2006 ) : Conf. No.: 5585  
For: THIAZOLE DERIVATIVES ) :  
U.S. Patent No.: 7,718,808 B2 ) :  
Issued: May 18, 2010 ) : July 14, 2010

Commissioner for Patents  
P.O. Box 1450  
Alexandria, VA 22313-1450

CERTIFICATE OF CORRECTION  
UNDER RULES 322 AND 323

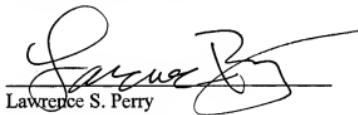
Sir:

It is respectfully requested that a Certificate of Correction be issued by the Patent and Trademark Office due to errors which appear in the printed patent as a result of Patent and Trademark Office mistakes, and mistakes of a clerical, typographical, or minor character, which were not the fault of the Patent and Trademark Office. A Certificate of Correction form, in duplicate, is attached.

The amount of \$100.00 to cover the statutory fee for such Certificate of Correction is being paid concurrently; please charge any deficiency in the fee, and credit any overpayment, to Deposit Account 06-1205.

Patentees' undersigned attorney may be reached in our New York office by telephone at (212) 218-2100. All correspondence should continue to be directed to our address given below.

Respectfully submitted,



Lawrence S. Perry  
Attorney for Patentees  
Registration No. 31,865

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1290 Avenue of the Americas  
New York, New York 10104-3800  
Facsimile: (212) 218-2200

FCHS\_WS 5283654 v1

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PATENT NO. : US 7,718,808 B2

DATED : May 18, 2010

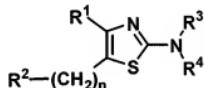
INVENTOR(S) : TAKAO NAKAJIMA ET AL.

Page 1 of 17

It is certified that error appears in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

ON THE TITLE PAGE [57] ABSTRACT:

Line 1, "(Wherein" should read --Provided are adenosine A<sub>2A</sub> receptor antagonists comprising, as the active ingredient, a thiazole derivative represented by a general formula (I), or a pharmaceutically acceptable salt thereof:

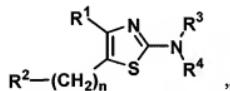


(I)

(wherein --; and

Lines 12-16, delete "For example, provided are adenosine A<sub>2A</sub> receptor antagonists comprising, as the active ingredient, a thiazole derivative represented by a general formula (I), or a pharmaceutically acceptable salt thereof, and the like.

(I)



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Page 2 of 17

It is certified that error appears in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

**COLUMN 1:**

Line 22, "thereof" should read --thereof,--.

**COLUMN 2:**

Line 21, "represented" should read --represented by--.

**COLUMN 4:**

Line 64, "a" should read --¶ a--.

**COLUMN 5:**

Line 5, "substi-" should read --¶ substi- --.

**COLUMN 9:**

Line 12, "have" should read --has--.

**COLUMN 12:**

Line 19, "(—52)" should read --(52)--.

**COLUMN 16:**

Line 2, "includes" should be deleted.

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Page 3 of 17

It is certified that error appears in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

COLUMN 20:

Line 27, "includes" should read --include--.

COLUMN 29:

Line 42, "R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>3b</sup>" should read --R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>3b</sup>--.

COLUMN 31:

Line 11, "1,2-dimethoxyethane" should read --1,2-dimethoxyethane--.

COLUMN 32:

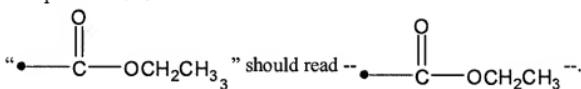
Line 16, "in a" should read --or in a-- and  
"used a" should read --used in mixture.--

Line 17, "mixture with" should be deleted; and

Line 25, "not," should read --not--.

COLUMN 59:

Compound No. 132



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Page 4 of 17

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**COLUMN 161:**

Line 20, "adopt" should read --adapt--;

Line 23, "orally" should read --oral--; and

Line 24, "As," should read --As--.

**COLUMN 163:**

Line 61, "Example 51" should read --Example 5--.

**COLUMN 164:**

Line 55, "7.76 (d, J=3.5Hz, 1H," should read --7.76 (d, J=3.5Hz, 1H),--.

**COLUMN 168:**

Line 25, "6.74;" should read --6.74--.

**COLUMN 169:**

Line 45, "Example 261" should read --Example 26--.

**COLUMN 175:**

Line 26, "178," should be deleted; and

Line 31, "5-morpholinotriazole" should read --5-morpholinothiazole--.

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Page 5 of 17

It is certified that error appears in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

COLUMN 176:

Line 39, "9.51 (bras, 1H)." should read --9.51 (br s, 1H).--.

COLUMN 179:

Line 6, "(2.41-2.8.5 (m, 1H)," should read --2.41-2.85 (m,1H),--.

COLUMN 184:

Line 28, "10.2 (br-s, 1H)." should read --10.2 (br s, 1H)."--; and

Line 51, "76-%)" should read --76%)--.

COLUMN 187:

Line 58, "Compound 79," should read --Compound 79--; and

Line 64, "10.9 (br-s," should read --10.9 (br s,--.

COLUMN 192:

Line 50, "of" should be deleted.

COLUMN 193:

Line 31, "ESIMS m/z;" should read --ESIMS m/z:--.

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Page 6 of 17

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COLUMN 194:

Line 44, “<sup>1</sup>H NMR (DMSO-d<sub>6</sub>, ppm):” --<sup>1</sup>H NMR (DMSO-d<sub>6</sub>, δ ppm):--; and  
Line 62, “6.7.3 (dd, J=0.8, 3.2 Hz, 1H)” should read  
--6.73 (dd, J=0.8, 3.2 Hz, 1H),--

COLUMN 195:

Line 13, “12.19 (br-s,” should read --12.19 (br s,--; and  
Line 34, “APCIMS m/z;” should read --APCIMS m/z:--.

COLUMN 196:

Line 43, “40.%)” should read --(40%)--.

COLUMN 198:

Line 46, “Compound 114” should read --Compound 115--;  
Line 61, “Compound 115” should read --Compound 116--; and  
Line 65, “<sup>1</sup>H NMR,” should read --<sup>1</sup>H NMR--

COLUMN 199:

Line 48, “<sup>1</sup>H NMR (CDCl<sub>3</sub>, ppm):” should read --<sup>1</sup>H NMR (CDCl<sub>3</sub>, δ ppm):--.

COLUMN 202:

Line 59, “Compound 111” should read --Compound 126--.

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Page 7 of 17

It is certified that error appears in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

COLUMN 203:

Line 15, "7.34 (dd, J=2.6, 9.4 Hz, 1H)" should read  
--7.34 (dd, J=2.6, 9.4 Hz, 1H),--.

COLUMN 204:

Line 34, "8.88 (dd, J=1.6, 4.6 Hz, 2H)" should read --8.88 (dd, J=1.6, 4.6 Hz,  
2H).--.

COLUMN 207:

Line 49, "2-(chloromethyl)isonicotinic" should read  
--2-(chloromethyl)isonicotinic--.

COLUMN 209:

Line 46, "6-chloronitocinic" should read --6-chloronicotinic--.

COLUMN 210:

Line 11, "(Compound 147)" should read --(Compound 149)--; and  
Line 51, "-1'-ethyl-2-" should read -- -1-ethyl-2- --.

COLUMN 211:

Line 17, "APCIMS m/z;" should read --APCIMS m/z:--;  
Line 44, close up right margin; and  
Line 45, close up left margin.

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Page 8 of 17

It is certified that error appears in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

COLUMN 216:

Line 7, "Compound 166" should read --Compound 171--; and  
Line 57, "13.63 (br s, 1H)" should read --13.63 (br s, 1H)--.

COLUMN 217:

Line 61, "Compound 1177" should read --Compound 177--.

COLUMN 218:

Line 14, "13.70 (bras, 1H)." should read --13.70 (br s, 1H)--;  
Line 33, "[M+H]<sup>+</sup>396." should read --[M+H]<sup>+</sup>396.--; and  
Line 41, "(155)mg," should read --155 mg,-- .

COLUMN 226:

Line 11, "(31.1 mg," should read --(311 mg,--.

COLUMN 228:

Line 58, "Compound-215" should read --Compound 215--.

COLUMN 233:

Line 10, "Synthesis," should read --*Synthesis*,--.

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Page 9 of 17

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COLUMN 237:

Line 32, "(Compound 24.5)" should read --(Compound 245)--.

COLUMN 238:

Line 9, "Tetrahedron Letters," should read --*Tetrahedron Letters*,--.

COLUMN 244:

Line 1, "Compound" should read --Compound 267--;

Line 10, "6.65 (dd, j=1.6, 3.5Hz,—1H)," should read  
--6.65 (dd, J=1.6, 3.5Hz, 1H),--; and

Line 24, "Compound" should read --Compound 268--.

COLUMN 246:

Line 43, "3.21°(m, 4H)," should read --3.21 (m, 4H),--.

COLUMN 247:

Line 53, "Compound" should read --Compound 280--.

COLUMN 249:

Line 66, "Compound—" should read --Compound--.

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Page 10 of 17

It is certified that error appears in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

COLUMN 257:

Line 33, "52.%)" should read --52%)--.

COLUMN 258:

Line 57, "7.34 (dd, 0.5, 8.1Hz, 1H)," should read  
--7.34 (dd, J=0.5, 8.1Hz, 1H),--.

COLUMN 259:

Line 21, "[<sup>37</sup>CIM-H]-411." should read --[<sup>37</sup>CIM-H]^- 411.--; and  
Line 44, "J=1.6, 4.6 Hz, 2H)" should read --J=1.6, 4.6 Hz, 2H),--.

COLUMN 260:

Line 3, "N-(4-(2-Furyl)-5-[2-(4-methylpiperazin-1-yl)pyri- " should read  
--N-(4-(2-Furyl)-5-[2-(4-methylpiperazin-1-yl)pyri- --; and  
Line 4, "din-5-ylcarbonyl]thiazol-2-yl)pyridine-4-carboxam-- " should read  
--din-5-ylcarbonyl]thiazol-2-yl)pyridine-4-carboxam--

COLUMN 269:

Line 61, "crude." should read --crude--.

COLUMN 271:

Line 3, "(br s, 2H)" should read --(br s, 2H).--; and  
Line 36, "8.22 (br s, 2H)" should read --8.22 (br s, 2H).--.

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Page 11 of 17

It is certified that error appears in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

COLUMN 273:

Line 39, "8.90 (br s, 1H)" should read --8.90 (br s, 1H).--;

Line 51, "8.75 (br s, 2H)" should read --8.75 (br s, 2H).--.

COLUMN 278:

Line 31, "Compound ~396" should read --Compound 396--;

Line 49, "1.61-11.72 (m, 2H)," should read --1.61-1.72 (m, 2H),--;

Line 51, "7.612 (dd, J=1.0, 3.5 Hz, 1H)." should read

--7.62 (dd, J=1.0, 3.5 Hz, 1H).--;

Line 57, "(Compound 403)" should read --(Compound 398)--; and

Line 65, "8.631 (br s, 1H)." should read --8.63 (br s, 1H).--.

COLUMN 287:

Line 9, "N-[5-(Cyclopentylcarbonyl)-4-(2-furyl)thiazol-2- " should read  
--N-[5-(Cyclopentylcarbonyl)-4-(2-furyl)thiazol-2- --.

COLUMN 290:

Line 19, "Compound" (first occurrence) should read --Compound 443--; and

Line 57, "a" should read --an--.

COLUMN 298:

Line 9, "3.11-3.2 (m, 1H)," should read --3.11-3.22 (m, 1H),--.

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Page 12 of 17

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COLUMN 300:

Line 59, "(111 mg, 53" should read --111 mg, 53%)--.

COLUMN 320:

Line 66, "di-methylamine" should read --dimethylamine--.

COLUMN 324:

Line 63, "J=11.9," should read --J=1.9,--.

COLUMN 325:

Line 10, "(122 mg;" should read --(122 mg,--.

COLUMN 326:

Line 57, "(7.6.7 mg," should read --(76.7 mg,--.

COLUMN 327:

Line 38, "7.7%). "should read --77%).--.

COLUMN 328:

Line 54, "2-hydroxy-2-methylpropylpiperazine" should read  
--1-(2-hydroxy-2-methylpropyl)piperazine--.

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Page 13 of 17

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COLUMN 329:

Line 4, "thiazol-2-yl]-2-[4-(2-hydroxy-2-methylpropyl)piper- " should read  
--thiazol-2-yl]-2-[4-(2-methoxy-2-methylpropyl)piper- --; and

Line 7, "2-methoxy-2-methylpropylpiperazine" should read  
--1-(2-methoxy-2-methylpropyl)piperazine--.

COLUMN 330:

Line 7, "added" should read --was added--; and

Line 51, "Compound 531;" should read --Compound 531--.

COLUMN 331:

Line 63, "1,4-diazepane hydrochloride" should read --1,4-perhydroxazepin hydrochloride--.

COLUMN 332:

Line 15, "9.00 mmol)" should read --0.900 mmol)--;

Line 36, "9.00 mmol)" should read --0.900 mmol)--; and

Line 56, "9.00 mmol)" should read --0.900 mmol)--.

COLUMN 333:

Line 8, "9.00 mmol)" should read --0.900 mmol)--; and

Line 26, "9.00 mmol)" should read --0.900 mmol)--.

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Page 14 of 17

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COLUMN 335:

Line 57, "7.77 (d, J=3.5 Hz, 1H)—." should read --7.77 (d, J=3.5 Hz, 1H)--.

COLUMN 336:

Line 27, "APCIMS m/z: [M+H]<sup>-</sup>398." should read  
--APCIMS m/z: [M+H]<sup>-</sup>398.--.

COLUMN 338:

Line 12, "97.%" should read --97%)--.

COLUMN 339:

Line 53, "(3.5.2 mg," should read --(35.2 mg,--; and  
Line 55, "H NMR" should read --<sup>1</sup>H NMR--.

COLUMN 342:

Line 22, "(290 mg;" should read --(290 mg,--; and  
Line 63, "8.67," should read --8.67--.

COLUMN 343:

Line 24, "8.6.7 (dd, J=0.6, 5.1 Hz, 1H)," should read  
--8.67 (dd, J=0.6, 5.1 Hz, 1H),--.

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Page 15 of 17

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**COLUMN 344:**

Line 2, "7.67-7.7.3 (m, 1H)," should read --7.67-7.73 (m, 1H),--;  
Line 19, "4.0.6 (ddd, J=2.6, 3.9±11.6 Hz, 2H)," should read --4.06 (ddd,  
J=2.6, 3.9, 11.6 Hz, 2H),--;  
Line 38, "7.46 (dd, J=1.5, 1.8 Hz, 1H)\*," should read  
--7.46 (dd, J=1.5, 1.8 Hz, 1H),--;  
Line 39, "8.67 (0.7, 1.5 Hz, 1H)," should read  
--8.67 (dd, J=0.7, 1.5 Hz, 1H),--; and  
Line 50, "Compound" should read --Compound 621--.

**COLUMN 350:**

Line 29, "(1.21g, 60)" should read --(1.21g, 60%);--;  
Line 40, "froylacetate" should read --furylacetate--;  
Line 53, "furyl)propanoic" should read --furyl)propanone--;  
Line 57, "7.43 (dd, J=0.7, 1.8 Hz, 1H)" should read  
--7.43 (dd, J=0.7, 1.8 Hz, 1H),--; and  
Line 64, "4.6.0 mmol)" should read --46.0 mmol)--.

**COLUMN 351:**

Line 4, "sulfate;" should read --sulfate,--.

**COLUMN 352:**

Line 10, "6.91 (d, J=3.3 Hz, 1H)" should read --6.91 (d, J=3.3 Hz, 1H),--; and  
Line 43, "8.dd" should read --8.33--.

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PATENT NO. : US 7,718,808 B2

DATED : May 18, 2010

INVENTOR(S) : TAKAO NAKAJIMA ET AL.

Page 16 of 17

It is certified that error appears in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

**COLUMN 353:**

Line 26, "J=5.0 Hz, 1-H)," should read --J=5.0 Hz, 1H),--

**COLUMN 354:**

Line 31, "chromatography," should read --chromatography--.

**COLUMN 357:**

Line 1, "THY" should read --THF--; and

Line 44, "39.%" should read --39%)--.

**COLUMN 360:**

Line 66, "7.43(d, J==6.5" should read --7.43 (d, J=6.5--.

**COLUMN 363:**

Line 2, "8.07 (0.9, 1.7 Hz, 1H)." should read --8.07 (dd, J=0.9, 1.7 Hz, 1H).--.

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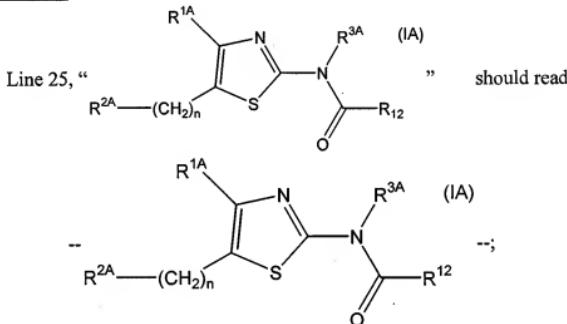
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Page 17 of 17

It is certified that error appears in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

COLUMN 364:



Line 33, “represents” should read --represents a--; and  
Line 36, “represents a” should read --R<sup>12</sup> represents--.

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